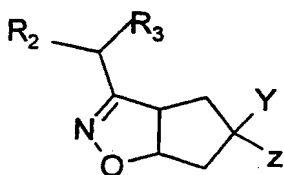


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Claims:

What is claimed is:

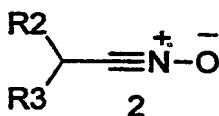
1. A method for preparing isoxazoline compounds  
 5 represented by the formula 4:



4

wherein each of R<sub>2</sub> and R<sub>3</sub> individually is alkyl or alkenyl  
 of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of  
 4-8 carbon atoms, arylalkyl or substituted arylalkyl, or H  
 provided at least one of R<sub>2</sub> and R<sub>3</sub> is other than H; each of  
 Y and Z individually is COOR<sub>1</sub> or H provided that at least  
 one of Y and Z is other than H;

which comprises reacting a nitrile oxide of the formula



2

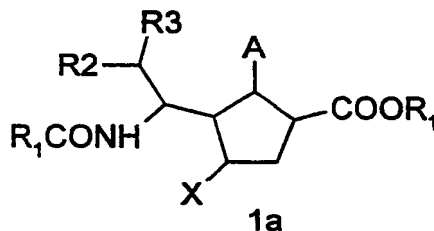
with a cyclopentane derivative <sup>41</sup> of the formula 3



3

to produce said isoxazoline compound.

2. A method for preparing a substituted cyclopentane compound represented by formula 1a:



wherein each  $R_1$  individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H; each of  $R_2$  and  $R_3$  individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of  $R_2$  and  $R_3$  is other than H; X is  $NHR_1$ ,  $NHC(=NH)NHR_4$  where  $R_4$  is H, alkyl of 1-6 carbon atoms,  $OR_1$ ,  $COR_1$ ,  $COOR_1$  CN or  $NO_2$ ; A is

H; and pharmaceutically acceptable salts thereof;

which comprises:

obtaining an isoxazoline compound of formula 4 according to the process of claim 1;

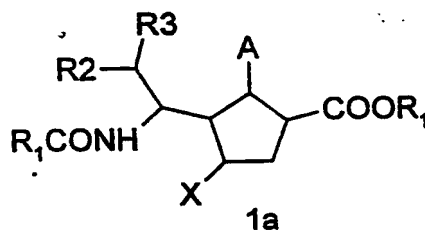
5 reducing said isoxazoline compound of formula 4 to form an aminoalcohol derivative according to formula 5;

reacting said aminoalcohol compound of formula 5 with an anhydride or acid halide of a carboxylic acid of the formula:  $R_1\text{COOH}$  to produce an acylated compound represented by formula 6;

10 converting the alcohol group of said acylated compound into a leaving group;

displacing said leaving group with ammonia or guanidine to obtain said compound of formula 1a; or displacing said leaving group with an azide ion and then converting to a guanidine with a  $\text{NH}_2$  compound to obtain said compound of formula 1a.

3. A method for preparing a substituted cyclopentane compound represented by formula 1a:



*Indefinite  
independent  
claim*

*Not done*  
10  
10019217  
15  
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*How?*

*Spec?*

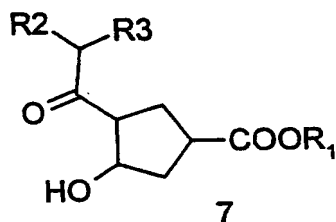
*Not  
prior*

wherein each  $R_1$  individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H; each of  $R_2$  and  $R_3$  individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of  $R_2$  and  $R_3$  is other than H; X is  $NHR_1$ ,  $NHC(=NH)NHR_4$  where  $R_4$  is H, alkyl of 1-6 carbon atoms,  $OR_1$ ,  $COR_1$ ,  $COOR_1$ , CN or  $NO_2$ ; A is H; and pharmaceutically acceptable salts thereof;

which comprises:

obtaining an isoxazoline compound of formula 4 according to the process of claim 1;

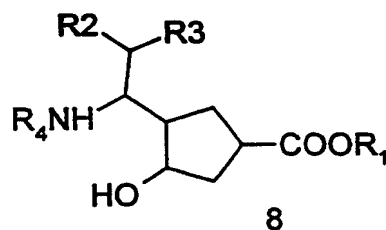
converting said isoxazoline compound of formula 4 to a ketone according to formula 7



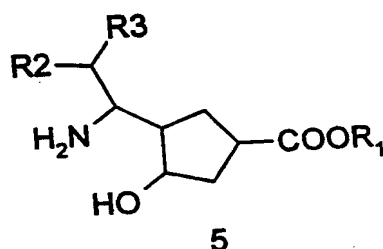
by opening its isoxazoline ring;

subjecting said ketone of formula 7 to reductive amination to thereby form a compound according to formula 8

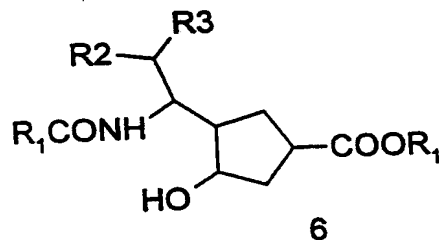
*How? spec?*



wherein  $R_4$  is H or a substituted benzyl; when  $R_4$  is a substituted benzyl,  $R_4$  is removed to give the aminoalcohol compound of formula 5;



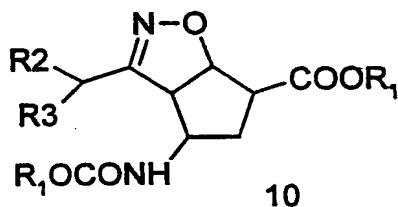
reacting said aminoalcohol compound of formula 5 with an anhydride or acid halide of a carboxylic acid of the formula  $R_1\text{COOH}$  to produce an acylated compound represented by formula 6;



How? spec?  
 converting the alcohol group of said acylated compound into a leaving group;

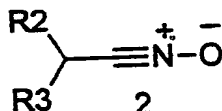
displacing said leaving group with ammonia or guanidine to obtain said compound of formula 1a; or displacing said leaving group with an azide ion and then converting to a guanidine with a  $\text{NH}_2$  compound to obtain said compound of formula 1a.

4. A method for preparing isoxazoline compounds represented by the formula 10:

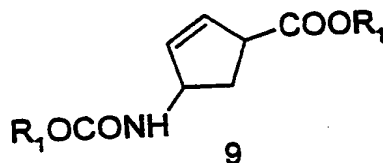


wherein each  $R_1$  individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H;  
 5 each of  $R_2$  and  $R_3$  individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of  $R_2$  and  $R_3$  is other than H;

which comprises reacting a nitrite oxide of formula 2

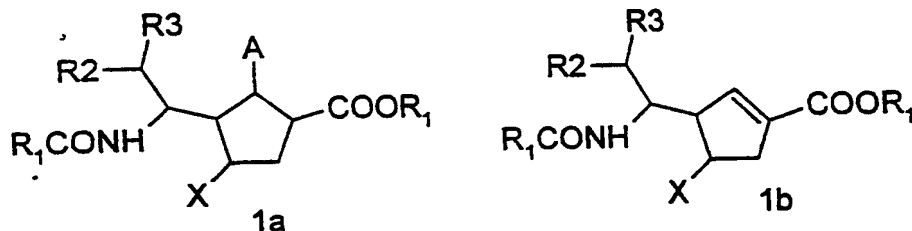


with a cyclopentane derivative <sup>having</sup> of the formula 9



to produce said isoxazoline compound.

5. A method for preparing a substituted cyclopentane compound represented by formulae 1a or 1b



wherein each  $R_1$  individually is alkyl or substituted alkyl, alkenyl or substituted alkenyl of 1-6 carbon atoms, or H; each of  $R_2$  and  $R_3$  individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, aryl or substituted aryl, arylalkyl or substituted arylalkyl, or H provided at least one of  $R_2$  and  $R_3$  is other than H;  $X$  is  $\text{NHR}_1$ ,  $\text{NHC}(=\text{NH})\text{NHR}_4$  where  $R_4$  is H, alkyl of 1-6 carbon atoms,  $\text{OR}_1$ ,  $\text{COR}_1$ ,  $\text{COOR}_1$ ,  $\text{CN}$  or  $\text{NO}_2$ ;  $A$  is H, F,  $\text{OR}_1$ ,  $\text{OCOR}_1$ ,  $-\text{OOCNHR}_1$ ,  $\text{NHR}_1$ , or  $\text{NHCOOR}_1$ ; and pharmaceutically acceptable salts thereof;

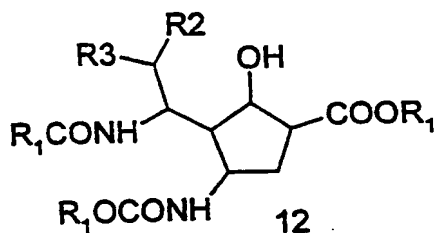
which comprises:

obtaining an isoxazoline compound of formula 10 according to claim 4;

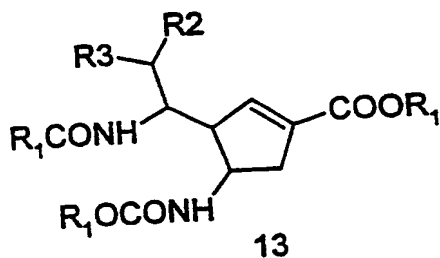
*improperly  
dependent*



converting said isoxazoline to a compound of formula 12



and dehydrating said compound of formula 12 to produce a compound of formula 13



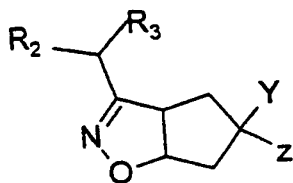
or converting the OH groups of said compound of formula 12

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to a group selected from the group of F, OR, OCOR, NHR<sub>1</sub> or NHCOOR, except when said group is OR<sub>1</sub>, R<sub>1</sub> is other than H.

6. An isoxazoline derivative represented by the  
5 following formula 4:

*Intermediate*



4

wherein each of R<sub>2</sub> and R<sub>3</sub> individually is alkyl or alkenyl of 1-8 carbon atoms, cycloalkyl or substituted cycloalkyl of 4-8 carbon atoms, arylalkyl or substituted arylalkyl, or H provided at least one of R<sub>2</sub> and R<sub>3</sub> is other than H; each of Y and Z individually is COOR<sub>1</sub> or H provided that at least one of Y and Z is other than H.